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TI Preparation of N-alkyl-a-amino acids and their derivatives as
intermediates for carboxyalkyl dipeptides

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PA ADIR, Fr.

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DT Patent

LA French

IC ICM C07C099-00

ICS C07C101-12; C07D209-42

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

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| | EP 309324 | B1 | 19910313 | | |
| | | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | |
| | FR 2620700 | A1 | 19890324 | FR 1987-12902 | 19870917 |
| | FR 2620700 | B1 | 19900601 | | |
| | CA 1341380 | C | 20020806 | CA 1988-577079 | 19880907 |
| | DK 8805152 | A | 19890318 | DK 1988-5152 | 19880915 |
| | DK 173730 | B1 | 20010806 | | |
| | AU 8822356 | A | 19890323 | AU 1988-22356 | 19880916 |
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| | ZA 8806933 | A | 19890530 | ZA 1988-6933 | 19880916 |
| | AT 61567 | T | 19910315 | AT 1988-402340 | 19880916 |
| | ES 2034324 | T3 | 19930401 | ES 1988-402340 | 19880916 |
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| | EP 1988-402340 | A | 19880916 | | |

CLASS

PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES

| | | |
|------------|------|---|
| EP 309324 | ICM | C07C099-00 |
| | ICS | C07C101-12; C07D209-42 |
| | IPCI | C07C0099-00 [ICM,4]; C07C0101-12 [ICS,4]; C07D0209-42 [ICS,4]; C07D0209-00 [ICS,4,C*] |
| | IPCR | A61K0038-55 [I,C*]; A61K0038-55 [I,A]; A61P0003-00 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*]; A61P0009-00 [I,A]; A61P0009-12 [I,A]; B01J0031-02 [I,C*]; B01J0031-02 [I,A]; C07B0031-00 [I,C*]; C07B0031-00 [I,A]; C07B0057-00 [I,C*]; C07B0057-00 [I,A]; C07B0061-00 [I,C*]; C07B0061-00 [I,A]; C07C0057-00 [I,C*]; C07C0057-145 [I,A]; C07C0067-00 [I,C*]; C07C0067-00 [I,A]; C07C0227-00 [I,C*]; C07C0227-00 [I,A]; C07C0227-18 [I,A]; C07C0227-32 [I,A]; C07C0227-34 [I,A]; C07C0229-00 [I,C*]; C07C0229-16 [I,A]; C07D0209-00 [I,C*]; C07D0209-42 [I,A]; C07K0001-00 [I,C*]; C07K0001-02 [I,A]; C07K0005-00 [I,C*]; C07K0005-06 [I,A]; C07K0014-81 [I,C*]; C07K0014-81 [I,A] |
| FR 2620700 | IPCI | C07C0101-18 [ICM,4]; C07K0005-06 [ICS,4]; C07K0005-00 [ICS,4,C*]; A61K0037-02 [ICA,4] |
| | IPCR | A61K0038-55 [I,C*]; A61K0038-55 [I,A]; A61P0003-00 |

[I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*];
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 [I,A]; C07C0227-34 [I,A]; C07C0229-00 [I,C*];
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CA 1341380 IPCI C07D0217-02 [ICM,7]; C07D0217-00 [ICM,7,C*];
 C07D0209-04 [ICS,7]; C07D0209-00 [ICS,7,C*];
 C07K0005-06 [ICS,7]; C07K0005-00 [ICS,7,C*]

IPCR A61K0038-55 [I,C*]; A61K0038-55 [I,A]; A61P0003-00
 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*];
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 [I,C*]; B01J0031-02 [I,A]; C07B0031-00 [I,C*];
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 [I,A]; C07K0001-00 [I,C*]; C07K0001-02 [I,A];
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DK 8805152 IPCI C07C0099-00 [ICM,4]

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 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*];
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 [I,C*]; B01J0031-02 [I,A]; C07B0031-00 [I,C*];
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 C07C0057-00 [I,C*]; C07C0057-145 [I,A]; C07C0067-00
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 [I,C*]; C07K0014-81 [I,A]

AU 8822356 IPCI C07C0101-20 [ICM,4]; C07C0099-00 [ICS,4]

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 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*];
 A61P0009-00 [I,A]; A61P0009-12 [I,A]; B01J0031-02
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 C07C0229-16 [I,A]; C07D0209-00 [I,C*]; C07D0209-42
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 C07K0005-00 [I,C*]; C07K0005-06 [I,A]; C07K0014-81
 [I,C*]; C07K0014-81 [I,A]

JP 01110651 IPCI C07C0101-18 [ICM,4]; B01J0031-02 [ICS,4]; C07C0099-00
 [ICS,4]; C07K0001-02 [ICS,4]; C07K0001-00 [ICS,4,C*];
 C07K0005-06 [ICS,4]; C07K0005-00 [ICS,4,C*];

A61K0037-64 [ICA,4]; C07B0057-00 [ICA,4]; C07B0061-00 [ICA,4]

IPCR A61K0038-55 [I,C*]; A61K0038-55 [I,A]; A61P0003-00 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*]; A61P0009-00 [I,A]; A61P0009-12 [I,A]; B01J0031-02 [I,C*]; B01J0031-02 [I,A]; C07B0031-00 [I,C*]; C07B0031-00 [I,A]; C07B0057-00 [I,C*]; C07B0057-00 [I,A]; C07B0061-00 [I,C*]; C07B0061-00 [I,A]; C07C0057-00 [I,C*]; C07C0057-145 [I,A]; C07C0067-00 [I,C*]; C07C0067-00 [I,A]; C07C0227-00 [I,C*]; C07C0227-18 [I,A]; C07C0227-32 [I,A]; C07C0227-34 [I,A]; C07C0229-00 [I,C*]; C07C0229-16 [I,A]; C07D0209-00 [I,C*]; C07D0209-42 [I,A]; C07K0001-00 [I,C*]; C07K0001-02 [I,A]; C07K0005-00 [I,C*]; C07K0005-06 [I,A]; C07K0014-81 [I,C*]; C07K0014-81 [I,A]

ZA 8806933 IPCI C07C [ICM,4]; C07K [ICS,4]; A61K [ICS,4]

IPCR A61K0038-55 [I,C*]; A61K0038-55 [I,A]; A61P0003-00 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*]; A61P0009-00 [I,A]; A61P0009-12 [I,A]; B01J0031-02 [I,C*]; B01J0031-02 [I,A]; C07B0031-00 [I,C*]; C07B0031-00 [I,A]; C07B0057-00 [I,C*]; C07B0057-00 [I,A]; C07B0061-00 [I,C*]; C07B0061-00 [I,A]; C07C0057-00 [I,C*]; C07C0057-145 [I,A]; C07C0067-00 [I,C*]; C07C0067-00 [I,A]; C07C0227-00 [I,C*]; C07C0227-18 [I,A]; C07C0227-32 [I,A]; C07C0227-34 [I,A]; C07C0229-00 [I,C*]; C07C0229-16 [I,A]; C07D0209-00 [I,C*]; C07D0209-42 [I,A]; C07K0001-00 [I,C*]; C07K0001-02 [I,A]; C07K0005-00 [I,C*]; C07K0005-06 [I,A]; C07K0014-81 [I,C*]; C07K0014-81 [I,A]

AT 61567 IPCI C07C0227-18 [ICM,5]; C07C0227-00 [ICM,5,C*]; C07C0229-24 [ICS,5]; C07C0229-00 [ICS,5,C*]; C07D0209-42 [ICS,5]; C07D0209-00 [ICS,5,C*]

IPCR C07C0227-00 [I,C*]; C07C0227-18 [I,A]; C07C0229-00 [I,C*]; C07C0229-24 [I,A]; C07D0209-00 [I,C*]; C07D0209-42 [I,A]

ES 2034324 IPCI C07C0227-18 [ICM,5]; C07C0227-00 [ICM,5,C*]; C07C0229-24 [ICS,5]; C07C0229-00 [ICS,5,C*]; C07D0209-42 [ICS,5]; C07D0209-00 [ICS,5,C*]

IPCR A61K0038-55 [I,C*]; A61K0038-55 [I,A]; A61P0003-00 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*]; A61P0009-00 [I,A]; A61P0009-12 [I,A]; B01J0031-02 [I,C*]; B01J0031-02 [I,A]; C07B0031-00 [I,C*]; C07B0031-00 [I,A]; C07B0057-00 [I,C*]; C07B0057-00 [I,A]; C07B0061-00 [I,C*]; C07B0061-00 [I,A]; C07C0057-00 [I,C*]; C07C0057-145 [I,A]; C07C0067-00 [I,C*]; C07C0067-00 [I,A]; C07C0227-00 [I,C*]; C07C0227-18 [I,A]; C07C0227-32 [I,A]; C07C0227-34 [I,A]; C07C0229-00 [I,C*]; C07C0229-16 [I,A]; C07D0209-00 [I,C*]; C07D0209-42 [I,A]; C07K0001-00 [I,C*]; C07K0001-02 [I,A]; C07K0005-00 [I,C*]; C07K0005-06 [I,A]; C07K0014-81 [I,C*]; C07K0014-81 [I,A]

JP 07206792 IPCI C07C0229-16 [ICM,6]; C07C0229-00 [ICM,6,C*]; C07C0057-145 [ICS,6]; C07C0057-00 [ICS,6,C*]; C07C0227-34 [ICS,6]; C07C0227-00 [ICS,6,C*]; A61K0038-55 [ICA,6]; C07B0057-00 [ICA,6]; C07M0007-00 [ICI,6]

IPCR A61K0038-55 [I,C*]; A61K0038-55 [I,A]; A61P0003-00 [I,C*]; A61P0003-00 [I,A]; A61P0009-00 [I,C*]; A61P0009-00 [I,A]; A61P0009-12 [I,A]; B01J0031-02 [I,C*]; B01J0031-02 [I,A]; C07B0031-00 [I,C*];

C07B0031-00 [I,A]; C07B0057-00 [I,C*]; C07B0057-00 [I,A]; C07B0061-00 [I,C*]; C07B0061-00 [I,A]; C07C0057-00 [I,C*]; C07C0057-145 [I,A]; C07C0067-00 [I,C*]; C07C0067-00 [I,A]; C07C0227-00 [I,C*]; C07C0227-00 [I,A]; C07C0227-18 [I,A]; C07C0227-32 [I,A]; C07C0227-34 [I,A]; C07C0229-00 [I,C*]; C07C0229-16 [I,A]; C07D0209-00 [I,C*]; C07D0209-42 [I,A]; C07K0001-00 [I,C*]; C07K0001-02 [I,A]; C07K0005-00 [I,C*]; C07K0005-06 [I,A]; C07K0014-81 [I,C*]; C07K0014-81 [I,A]

OS CASREACT 111:115738; MARPAT 111:115738

GI



AB (S,S)-HO₂CCHMeNHCHR₁CO₂R₂ (I; R₁,R₂ = lower alkyl), useful as intermediates for carboxyalkyl dipeptides R₃CO-Q-COCHMeNHCHR₁CO₂R₂ (II; R₃ = H, alkyl; Q = residue of indoline, isoindoline, tetrahydroquinoline, tetrahydroisoquinoline, etc.), esp. perindopril and its derivs., are prep'd. via (S)-H₂NCHMeO₂CH₂Ph (III) with XCHR₁CO₂R₂ (IV; X = halo), sepn. of (S,S) isomer from the resulting V, and deprotection by hydrogenolysis. III (prepn. given) was reacted with IV (X = Br) in DMF contg. Et₃N to give V (R₁ = Pr, R₂ = Et), from which the (S,S) isomer was sep'd. This was hydrogenolyzed to give (S,S)-I (R₁ = Pr, R₂ = Et).

ST alkylamino acid prep'n; carboxyalkyl dipeptide intermediate; perindopril intermediate alkylamino acid

IT Peptides, preparation

RL: SPN (Synthetic preparation); PREP (Preparation)
(di-, carboxyalkyl, prep'n. of, intermediates for, alkylamino acids as)

IT Amino acids, preparation

RL: SPN (Synthetic preparation); PREP (Preparation)
(N-alkyl, prep'n. of, as intermediates for carboxylalkyl dipeptides)

IT 615-83-8, Ethyl 2-bromoalate

RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation by, of alanine deriv.)

IT 100-51-6, Benzyl alcohol, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with alanine)

IT 56-41-7, Alanine, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with benzyl alc.)

IT 82834-16-0D, Perindopril, derivs.

RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediates for, alkylamino acids as)

IT 17831-01-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and alkylation of, by haloalkanoic acid deriv.)

IT 42854-62-6P 122264-96-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and decompn. of)

IT 112243-70-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and deprotection of)

IT 112243-71-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT 82834-12-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of, as intermediate for carboxyalkyl dipeptides)